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FILE COVERS 1907 - 1 Dec 2004 VOL 141 ISS 23 FILE LAST UPDATED: 29 Nov 2004 (20041129/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

608 SEA FILE=CAPLUS PANTOPRAZOLE L1

16 SEA FILE=CAPLUS L1 AND SODIUM(W) SESQUIHYDRATE# 1.2

=> d 12 1-16 ibib abs hit

ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:780663 CAPLUS

DOCUMENT NUMBER:

141:301424

TITLE:

Crystalline and amorphous solids of pantoprazole and processes for their

preparation

INVENTOR (S):

Finkelstein, Nina; Krochmal, Barnaba; Wizel, Shlomit

PATENT ASSIGNEE(S):

Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

PCT Int. Appl., 22 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND		DATE		APPLICATION NO.					DATE					
WO	2004	0809	61		Ã2		20040923		1	WO 2004-US7662					20040312			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
							TZ,											
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
		BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB;	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
		TD,	TG															
<u> </u>			Δ1		2004	1125	1	IIS 2004-799376					20040312					

PRIORITY APPLN. INFO.:

US 2003-453836P Р 20030312 P 20030422 US 2003-464358P

Polymorphic forms of pantoprazole and processes of making then are described along with X-ray diffraction patterns.

Crystalline and amorphous solids of pantoprazole and processes TIfor their preparation

Polymorphic forms of pantoprazole and processes of making then AΒ are described along with X-ray diffraction patterns.

pantoprazole crystal polymorphism prepn ST

Polymorphism (crystal) IT

(crystalline and amorphous solids of pantoprazole and processes for their preparation)

IT Heating

ΙT

Precipitation (chemical)

(in the preparation of polymorphic crystalline forms of pantoprazole)

Phase separation IT (liquid-liquid; in the preparation of polymorphic crystalline forms of pantoprazole)

Drug delivery systems IΤ

(liqs.; containing crystalline and amorphous solids of pantoprazole and processes for their preparation)

IT RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(secretion, inhibitors; containing crystalline and amorphous solids of pantoprazole and processes for their preparation)

Gastric acid

(secretion; crystalline and amorphous solids of pantoprazole for the inhibition of)

Drug delivery systems ΙT

(solids; containing crystalline and amorphous solids of pantoprazole and processes for their preparation)

ITDrug delivery systems

(tablets; containing crystalline and amorphous solids of pantoprazole and processes for their preparation)

138786-67-1P, Pantoprazole 102625-70-7P, Pantoprazole IT 164579-32-2P, Pantoprazole sodium sodium

sesquihydrate

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(crystalline and amorphous solids of pantoprazole and processes for their preparation)

1310-73-2, Sodium hydroxide, reactions IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(crystalline and amorphous solids of pantoprazole and processes for their preparation using)

64-19-7, Acetic acid, reactions ΙT

RL: RGT (Reagent); RACT (Reactant or reagent)

(crystalline and amorphous solids of pantoprazole and processes

for their preparation using)

67-64-1, Acetone, uses 71-23-8, 1-Propanol, 64-17-5, Ethanol, uses IT75-09-2, Dichloromethane, uses 78-92-2, sec-Butanol 109-99-9, 141-78-6, Ethyl acetate, uses 616-38-6, Dimethyl carbonate Thf, uses 1634-04-4, MTBE 7732-18-5, Water, uses

RL: NUU (Other use, unclassified); USES (Uses)

(solvent; crystalline and amorphous solids of pantoprazole and processes for their preparation using)

ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN 2004:780364 CAPLUS ACCESSION NUMBER:

10/653,694

DOCUMENT NUMBER:

141:265937

TITLE:

Process for preparation of crystalline form-1 of

pantoprazole sodium

sesquihydrate

INVENTOR(S):

Reddy, Manne Satyanarayana; Eswaraiah, Sajja; Mathad, Vijayavitthal Thippannachar; Anilkumar, Pondichetty; Chandrashekar, Elati Ravi Ram; Shanmugam, Govindan

PATENT ASSIGNEE(S):

Reddy's Laboratories Limited, India; Reddy's

Laboratories, Inc.

SOURCE:

U.S. Pat. Appl. Publ., 10 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND	DATE	APPLICATION NO.	DATE
<b></b>			
A1	20040923	US 2003-653694	20030902
		IN 2002-MA648 A	20020902
			A1 20040923 US 2003-653694

An improved process for making crystalline rorm-I of pantoprazole sodium sesquihydrate is provided. Pantoprazole free base (50 g) was dissolved in a solution of THF (350 mL) and aqueous sodium hydroxide solution (5.4 g dissolved in 10 mL of water), and stirred at a temperature of 25-35° till the clear solution results. The reaction solution was filtered and washed with THF. Dichloromethane (400 mL) was added slowly to the filtrate over a period of about 1 h and stirred for about 5-6 h to crystallize the solid mass. The separated solid mass was cooled to a temperature of 5-10° and further stirred for about 2-3 h. The solid was filtered, washed with dichloromethane (2x25 mL) and suck dried under The wet solid was suspended in dichloromethane (250 mL) and stirred for about 15-30 min. Then the solid was filtered and suck dried under vacuum and further dried at a temperature of 40-50° to afford crystalline form-I of pantoprazole sodium

sesquihydrate.

TI

Process for preparation of crystalline form-1 of pantoprazole

sodium sesquihydrate

An improved process for making crystalline rorm-I of pantoprazole AB sodium sesquihydrate is provided. Pantoprazole free base (50 g) was dissolved in a solution of THF (350 mL) and aqueous sodium hydroxide solution (5.4 g dissolved in 10 mL of water), and stirred at a temperature of 25-35° till the clear solution results. The reaction solution was filtered and washed with THF. Dichloromethane (400 mL) was added slowly to the filtrate over a period of about 1 h and stirred for about 5-6 h to crystallize the solid mass. The separated solid mass was cooled to a temperature of 5-10° and further stirred for about 2-3 h. The solid was filtered, washed with dichloromethane (2x25 mL) and suck dried under vacuum. The wet solid was suspended in dichloromethane (250 mL) and stirred for about 15-30 min. Then the solid was filtered and suck dried under vacuum and further dried at a temperature of 40-50° to afford crystalline form-I of pantoprazole sodium

sesquihydrate.

pantoprazole sodium sesquihydrate Cryst ST

prepn

Alcohols, uses IT

Ethers, uses

RL: NUU (Other use, unclassified); USES (Uses)

(C1-4; process for preparation of crystalline form-1 of pantoprazole sodium sesquihydrate)

ΙT Hydrocarbons, uses.

RL: NUU (Other use, unclassified); USES (Uses)

(alicyclic; process for preparation of crystalline form-1 of pantoprazole

```
sodium sesquihydrate)
    Hydrocarbons, uses
IT
     RL: NUU (Other use, unclassified); USES (Uses)
        (aliphatic; process for preparation of crystalline form-1 of pantoprazole
        sodium sesquihydrate)
     Solvents
IT
        (process for preparation of crystalline form-1 of pantoprazole
        sodium sesquihydrate)
     Ligroine
IT
     RL: NUU (Other use, unclassified); USES (Uses)
        (process for preparation of crystalline form-1 of pantoprazole
        sodium sesquihydrate)
                                    64-17-5, Ethanol, uses 67-56-1, Methanol,
     60-29-7, Diethyl ether, uses
IT
          67-63-0, Isopropanol, uses 67-66-3, Chloroform, uses 71-23-8,
     n-Propanol, uses 71-36-3, n-Butanol, uses 75-05-8, Acetonitrile, uses
     75-09-2, Dichloromethane, uses 75-65-0, uses 78-92-2, 2-Butanol
     108-20-3, Di isopropyl ether
                                   109-99-9, Tetrahydrofuran, uses
                                                                     110-54-3,
                   110-82-7, Cyclohexane, uses
                                                 115-10-6, Dimethyl ether
     Hexane, uses
     141-78-6, Ethylacetate, uses 142-82-5, n-Heptane, uses 142-96-1, Di
     butyl ether 291-64-5, Cycloheptane 1634-04-4, Methyl tertiary butyl
     ether.
     RL: NUU (Other use, unclassified); USES (Uses)
        (process for preparation of crystalline form-1 of pantoprazole
        sodium sesquihydrate)
     1310-73-2, Sodium hydroxide, reactions
                                              102625-70-7, Pantoprazole
IΤ
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (process for preparation of crystalline form-1 of pantoprazole
        sodium sesquihydrate)
     164579-32-2P, Pantoprazole sodium
TΤ
     sesquihydrate
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (process for preparation of crystalline form-1 of pantoprazole
        sodium sesquihydrate)
     ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
                         2004:165727 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         141:337371
                         Characterization of two pantoprazole sodium
TITLE:
                         hydrates
                         Zupancic, V.; Jordan, Kotar B.; Grcman, M.; Ograjsek,
AUTHOR (S):
                         N.; Vrecer, F.
                         Product supply, Novo mesto, Krka d.d., Novo mesto,
CORPORATE SOURCE:
                         8501, Estonia
                         Farmacevtski Vestnik (Ljubljana, Slovenia) (2003),
SOURCE:
                         54(Spec. Issue), 409-410
                         CODEN: FMVTAV; ISSN: 0014-8229
                         Slovensko Farmacevtsko Drustvo
PUBLISHER:
DOCUMENT TYPE:
                         Journal
                         English
LANGUAGE:
     The aim of this study was to characterize 2 hydrates of
     pantoprazole sodium, i.e., monohydrate and sesquihydrate by modem
     anal. techniques such as DSC, Ft-IR and Raman spectroscopic techniques.
     The monohydrateis thermodynamically less stable than the sesquihydrate.
                               THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                         3
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
     Characterization of two pantoprazole sodium hydrates
TI
     The aim of this study was to characterize 2 hydrates of
AB
     pantoprazole sodium, i.e., monohydrate and sesquihydrate by modem
     anal. techniques such as DSC, Ft-IR and Raman spectroscopic techniques.
     The monohydrateis thermodynamically less stable than the sesquihydrate.
```

pantoprazole sodium hydrate characterization

ST

```
Contact angle
IT
    Density
    Fusion enthalpy
    Solubility
     Sorption
        (characterization of pantoprazole sodium hydrates)
IT
     Humidity
        (relative; characterization of pantoprazole sodium hydrates)
     164579-32-2, Pantoprazole sodium sesquihydrate
IT
     699002-47-6
     RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (characterization of pantoprazole sodium hydrates)
     ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
                         2003:570853 CAPLUS
ACCESSION NUMBER:
                         139:122787
DOCUMENT NUMBER:
                         Pantoprazole cyclodextrin inclusion
TITLE:
                         complexes
                         Giordano, Ferdinando; Marzocchi, Lucia; Moyano, Jose
INVENTOR (S):
                         Ramon; Rossi, Alessandra
                         Altana Pharma A.-G., Germany
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 16 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                            APPLICATION NO.
                                                                   DATE
                         KIND
                                DATE
     PATENT NO.
                                            -----
                         ____
     ______
                                                                    20030113
     WO 2003059393
                         A1
                                20030724
                                          WO 2003-EP242
         W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN,
             IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, TN, UA,
             US, VN, YU, ZA, ZW
         RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
             DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR
                                20041020 · EP 2003-701506
                                                                    20030113
                          A1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                                                A 20020115
                                             EP 2002-288
PRIORITY APPLN. INFO.:
                                                                A 20020322
                                            EP 2002-6454
                                                                W 20030113
                                            WO 2003-EP242
     An inclusion complex formed from pantoprazole, a ATPase
AΒ
     inhibitor used in therapy of disorders originating from increased gastric
     acid secretion, and cyclodextrin is described. For example, phase solubility
     studies of pantoprazole inclusion complexes with
     \beta-cyclodextrin, hydroxypropyl \beta-cyclodextrin (HP\beta-CD), and
     sodium salt sulfobutyl ether \beta-cyclodextrin obtained by freeze drying
     showed that with all three cyclodextrins, a notable increase in the
     apparent solubility of pantoprazole in phosphate buffer solution was
     observed Inclusion complexation was not achieved through kneading.
     Freeze-drying permitted the preparation of an amorphous solid phase with
     HP\beta-CD and pantoprazole sodium from their aqueous solution
                               THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
     Pantoprazole cyclodextrin inclusion complexes
TТ
     An inclusion complex formed from pantoprazole, a ATPase
AB
     inhibitor used in therapy of disorders originating from increased gastric
     acid secretion, and cyclodextrin is described. For example, phase solubility
```

studies of pantoprazole inclusion complexes with

 $\beta$ -cyclodextrin, hydroxypropyl  $\beta$ -cyclodextrin (HP $\beta$ -CD), and

ST

IT

IT

```
sodium salt sulfobutyl ether \beta-cyclodextrin obtained by freeze drying showed that with all three cyclodextrins, a notable increase in the apparent solubility of pantoprazole in phosphate buffer solution was observed Inclusion complexation was not achieved through kneading. Freeze-drying permitted the preparation of an amorphous solid phase with HP\beta-CD and pantoprazole sodium from their aqueous solution pantoprazole solubilization cyclodextrin complex liophylization Freeze drying
```

IT Freeze drying
Solubility
Solubilization

(preparation of pantoprazole-cyclodextrin inclusion complexes with increased drug solubility)

57-55-6DP, 1,2-Propanediol, ethers with β-cyclodextrin, complexes with pantoprazole 7585-39-9DP, β-Cyclodextrin, ethers with propanediol, complexes with pantoprazole 102625-70-7DP, Pantoprazole, complexes with β-cyclodextrin alkyl ethers 211555-42-9DP, complexes with pantoprazole 565177-66-4P 565177-67-5P 565177-68-6P 565177-69-7P 565177-70-0P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pantoprazole-cyclodextrin inclusion complexes with increased drug solubility)

7585-39-9,  $\beta$ -Cyclodextrin 138786-67-1, Pantoprazole sodium 164579-32-2, Pantoprazole sodium

sesquihydrate

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of pantoprazole-cyclodextrin inclusion complexes with increased drug solubility)

IT 102625-70-7P, Pantoprazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pantoprazole-cyclodextrin inclusion complexes with increased drug solubility)

L2 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:845258 CAPLUS

DOCUMENT NUMBER:

137:329475

TITLE:

Paste formulations for the oral delivery of

acid-labile drugs especially proton pump inhibitors

INVENTOR(S): Dietrich, Rango; Linder, Rudolf

PATENT ASSIGNEE(S):

BYK Gulden Lomberg Chemische Fabrik Gmbh, Germany

SOURCE:

Ger., 8 pp. CODEN: GWXXAW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. \_\_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ DE 2000-10061135 、Cl´ 20021107 20001207 DE 10061135 20001207 DE 2000-10061135 PRIORITY APPLN. INFO.:

The invention concerns the formulation of acid-labile drugs, especially proton pump inhibitors as pastes for oral administration; the formulation is prepared by dispensing the drug in a paraffin and glyceride mixture and forming microparticles; upon application the microparticle drug dosage is mixed with a gelation agent in the presence of water to form a paste. Thus 47 g solid paraffin, 40 g glycerin palmitate and 3 g sitosterol were melt and mixed at 100°C. After cooling the mixture to 55-60°C, 10 g lansoprazole were added and homogeneously suspended. The suspension was processed in a prilling unit; pressed through a 200 µm orifice at 0.1 bar while applying 390 Hz vibration; the formed

REFERENCE COUNT:

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droplets were solidified with cold air at -30°C. To prepare the
    paste 9 g of the lansoprazole -containing microparticles were mixed with 0.4 g
    xanthan gum and 10 mL water in a syringe.
                              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                        3
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    57-88-5, Cholesterol, biological studies 83-46-5
                                                        555-45-3,
IT
    Glycerintrimyristate 11114-20-8, κ-Carrageenan 11138-66-2,
    Xanthan gum 11140-06-0, Glycerinpalmitate 95382-33-5, Omeprazole
                                          117976-89-3, Rabeprazole
     magnesium 103577-45-3, Lansoprazole
     164579-32-2, Pantoprazole sodium sesquihydrate
    RL: PEP (Physical, engineering or chemical process); PYP (Physical
    process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
     USES (Uses)
        (paste formulations for oral delivery of acid-labile drugs especially proton
       pump inhibitors)
                                                9004-34-6, Cellulose,
                            9000-69-5, Pectin
     9000-01-5, Acacia gum
IT
                        9005-32-7, Alginic acid 102625-70-7,
     biological studies
                   106392-12-5, Poloxamer
     Pantoprazole
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (paste formulations for oral delivery of acid-labile drugs especially proton
        pump inhibitors)
     ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
L2
                         2002:807933 CAPLUS
ACCESSION NUMBER:
                         137:316073
DOCUMENT NUMBER:
                         Rapidly disintegrating tablets including acid-labile
TITLE:
                         proton pump inhibitors
                         Dietrich, Rango; Ney, Hartmut; Linder, Rudolf
INVENTOR (S):
                         Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
PATENT ASSIGNEE(S):
                         Ger., 8 pp.
SOURCE:
                         CODEN: GWXXAW
DOCUMENT TYPE:
                         Patent
                         German
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                           APPLICATION NO.
                         KIND
                                DATE
     PATENT NO.
                                           ______
                                ------
     _____
                         ____
                                           DE 2000-10061136
                                                                  20001207
     DE 10061136
                         C1
                                20021024
                                           DE 2000-10061136
PRIORITY APPLN. INFO.:
AB The invention concerns rapidly disintegrating tablets for oral
     administration that include an acid-labile proton pump inhibitor; the
     proton pump inhibitor is enclosed in units with a matrix; multiple units
     are mixed with excipients for pressing tablets. Matrix components are
     paraffin, triglycerides; excipients are disintegrants, fillers that are
     selected from the group of aldols and basic substances; used are e.g.
     sorbite, mannite, calcium carbonate, sodium carbonate. Thus 17.5 g
     glyceryltrimyristate, 67.5 g solid paraffin, 5 g cholesterol were heated
     to ca. 100°C to melt until clearness; the melt was cooled to
     55-65°C and 10 g pantoprazole were added. The hot melt
     was sprayed and cooled; the formed 50-700 μm particles were used for
     the formulation of the rapidly disintegrating tablets. A tablet contained
     (mg): pantoprazole-containing particles 400.0; Destab 95SE 1060.8;
     Pearlitol 300DC 387.2; Crosspovidone 136.0; magnesium stearate 16.0.
```

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT The invention concerns rapidly disintegrating tablets for oral administration that include an acid-labile proton pump inhibitor; the proton pump inhibitor is enclosed in units with a matrix; multiple units are mixed with excipients for pressing tablets. Matrix components are paraffin, triglycerides; excipients are disintegrants, fillers that are selected from the group of aldols and basic substances; used are e.g.

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

TT

ΙT

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sorbite, mannite, calcium carbonate, sodium carbonate. Thus 17.5 g
    glyceryltrimyristate, 67.5 g solid paraffin, 5 g cholesterol were heated
    to ca. 100°C to melt until clearness; the melt was cooled to
    55-65°C and 10 g pantoprazole were added. The hot melt
    was sprayed and cooled; the formed 50-700 μm particles were used for
    the formulation of the rapidly disintegrating tablets. A tablet contained
    (mg): pantoprazole-containing particles 400.0; Destab 95SE 1060.8;
    Pearlitol 300DC 387.2; Crosspovidone 136.0; magnesium stearate 16.0.
    540-10-3, Cetylpalmitate 555-44-2, Glyceryltripalmitate
    Glyceryltrimyristate 589-68-4, Glyceryl myristate 102625-70-7,
                                                 434943-30-3, Destab
                  434943-29-0, Pearlitol 300DC
    Pantoprazole
    95SE
    RL: PEP (Physical, engineering or chemical process); PYP (Physical
    process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
    USES (Uses)
        (rapidly disintegrating tablets including acid-labile proton pump
       inhibitors)
    50-70-4, Sorbit, biological studies 69-65-8, D-Mannitol
    Calcium carbonate, biological studies 497-19-8, Sodium carbonate,
                         73590-58-6, Omeprazole 103577-45-3, Lansoprazole
    biological studies
                               164579-32-2, Pantoprazole
    117976-89-3, Rabeprazole
                                         471293-63-7
    sodium sesquihydrate
                           446027-19-6
    RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (rapidly disintegrating tablets including acid-labile proton pump
        inhibitors)
    ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
                         2002:449486 CAPLUS
ACCESSION NUMBER:
                         137:24335
DOCUMENT NUMBER:
                         Rapidly disintegrating tablet comprising an
                         acid-labile active ingredient
                         Dietrich, Rango; Linder, Rudolf; Ney, Hartmut
                         BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
PATENT ASSIGNEE(S):
```

TITLE:

INVENTOR(S):

PCT Int. Appl., 28 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PA'	TENT NO.	KIND DATE	APPLICATION NO.	DATE		
 WO	W: AE, AL, AU, ID, IL, IN, SI, SK, UA,	BA, BG, BR, CA, IS, JP, KR, LT, US, VN, YU, ZA,	WO 2001-EP14340 CN, CO, CU, CZ, EC, LV, MK, MX, NO, NZ, ZW, AM, AZ, BY, KG, FI, FR, GB, GR, IE,	EE, GE, HR, HU, PH, PL, RO, SG, KZ, MD, RU, TJ, TM		
AU	PT, SE, TR 2430829 J 2002021939 2 1341528	AA 20020613 A5 20020618 A1 20030910	CA 2001-2430829 AU 2002-21939 EP 2001-999360 GB, GR, IT, LI, LU,	20011206 20011206 20011206		
JP US PRIORIT	2 2001015986 2 2004514737 3 2004110661 TY APPLN. INFO.:	T2 20040520 A1 20040610 ating tablet for	CY, AL, TR BR 2001-15986 JP 2002-547480 US 2003-433397 EP 2000-126807 WO 2001-EP14340 oral administration he rapidly disintegra	20011206 20030603 A 20001207 W 20011206 of acid-labile		

oral administration of an acid-labile active ingredient comprises a plurality of individual active ingredient units together with

pharmaceutical excipients, where the acid-labile active ingredient is present in the individual active ingredient units in a matrix composed of a mixture comprising at least one solid paraffin and one or more substances from the group of fatty alc., triglyceride and fatty acid ester, and where excipients which, on oral intake of the tablet, bring about rapid disintegration of the tablet are present. An active ingredient units contained solid paraffin, cetyl alc., stearylamine, povidone, and

pantoprazole sodium sesquihydrate.

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

A rapidly disintegrating tablet for oral administration of acid-labile AB active ingredients is described. The rapidly disintegrating tablet for oral administration of an acid-labile active ingredient comprises a plurality of individual active ingredient units together with pharmaceutical excipients, where the acid-labile active ingredient is present in the individual active ingredient units in a matrix composed of a mixture comprising at least one solid paraffin and one or more substances from the group of fatty alc., triglyceride and fatty acid ester, and where excipients which, on oral intake of the tablet, bring about rapid disintegration of the tablet are present. An active ingredient units contained solid paraffin, cetyl alc., stearylamine, povidone, and pantoprazole sodium sesquihydrate.

ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:449485 CAPLUS

DOCUMENT NUMBER:

137:24334

TITLE:

SOURCE:

Pharmaceuticals comprising an active agent dispersed

on a matrix

INVENTOR(S):

Dietrich, Rango; Linder, Rudolf; Ney, Hartmut

PATENT ASSIGNEE(S):

BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

PCT Int. Appl., 64 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.				KIND DATE			APPLICATION NO.				DATE			
WO.	WO 2002045693			A1 20020613			 B WC	WO 2001-EP14307				20011206			
,,,	W: AE,	AL,	AU,	BA,	BG, B	R, CA	CN, C	O, CU	, CZ,	EC,	EE,	GE,	HR,	HU,	
							LV, N								ידי∧ו
							ZW, A								111
	RW: AT,			CY,	DE, L	K, ES	F1, F	R, GB	, GR,	ıc,	11,	шо,	MC,	мп,	
CA	PT, SE, TR CA 2430828 AU 2002016073			א א	20	02061	CI	2001	-24308	128		2	0011:	206	
					20	02061	AI	1 2002	-16073	}		20011206			
								EE 2003-235							
EP	EP 1341527			A1 20030910			) EI	EP 2001-999359				20011206			
	R: AT,														
	IE,	SI,	LT,	LV,	FI, R	O, MK	CY, F								
	20010159					03122		2001							
JP	20045147	36		T2	20	04052		2002							
NO	20030025	93		Α	20	03080	5 NO	2003	-2593			2	0030	606	
US	20040588	96		A1	20	04032									
PRIORITY	PRIORITY APPLN. INFO.:						EI	2000	-12684	17					
							WC	2001	-EP143	307		W 2	0011	206	

The present invention relates to the field of pharmaceutical technol. and AR describes a novel advantageous formulation for an active ingredient. The novel formulation is suitable for producing a large number of pharmaceutical dosage forms. In the new formulation, an active ingredient is present essentially uniformly dispersed in an excipient matrix composed of 1 or

more excipients selected from the group of fatty alc., triglyceride, partial glyceride and fatty acid ester. Cetyl alc. 50, glyceryl monostearate 5, cetyl palmitate 10, glyceryl tristearate 10 and paraffin 24.5 g are converted into a clear melt at about 90°. Roflumilast  $(0.5\ \bar{g})$  is added, and the mixture is stirred until it is a clear solution. The clear melt is prilled at about  $70\gamma C$  in a suitable vibration prilling unit.

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

77-92-9, Citric acid, biological studies 83-46-5, 63-42-3, Lactose 124-30-1, Stearylamine 497-19-8, Sodium carbonate, β-Sitosterol biological studies 540-10-3, Cutina CP 555-43-1, Glyceryl tristearate 555-44-2, Dynasan 116 555-45-3, Dynasan 114 4070-80-8, Sodium stearyl 9003-39-8, Povidone 9004-57-3, Ethyl cellulose 9005-25-8, Starch, biological studies 9063-38-1, Sodium Carboxymethyl Starch 22839-47-0, Aspartame 25086-89-9, 11138-66-2, Xanthan Vinylacetate-1-vinyl-2-pyrrolidone copolymer 31566-31-1, Glyceryl 36653-82-4, Cetyl alcohol 64044-51-5, Lactose monohydrate monostearate 149202-17-5, 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole 164579-32-2, **Pantoprazole** 162401-32-3, Roflumilast Cellactose sodium sesquihydrate 199387-73-0 207993-12-2, 434943-30-3, 434943-29-0, Pearlitol 300DC Pumafentrine 261944-46-1 Destab 95SE

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical comprising active dispersed on matrix)

ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN L2

2002:449484 CAPLUS ACCESSION NUMBER:

137:37640

DOCUMENT NUMBER:

Pharmaceutical preparation in the form of a suspension TITLE:

comprising an acid-labile active ingredient such as

proton pump inhibitors

Dietrich, Rango; Linder, Rudolf INVENTOR(S):

BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 23.pp.

CODEN: PIXXD2

Patent DOCUMENT TYPE:

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.		KIND	DATE	APPLICATION NO.	DATE			
	WO 2002045				WO 2001-EP14254				
	W: AE	, AL, A	U, BA, I	BG, BR, CA,	CN, CO, CU, CZ, EC,	EE, GE, HR, HU,			
	ID	, IL, I	N, IS,	JP, KR, LT,	LV, MK, MX, NO, NZ,	PH, PL, RO, SG,			
	SI	. SK. U	A, US, '	VN, YU, ZA,	ZW, AM, AZ, BY, KG,	KZ, MD, RU, TJ, TM			
	RW: AT	. BE. C	H, CY, I	DE, DK, ES,	FI, FR, GB, GR, IE,	IT, LU, MC, NL,			
		, SE, T	R		•				
	CA 2430824		AA	20020613	CA 2001-2430824	20011205			
	AU 2002034545 EP 1341523			20020618	AU 2002-34545	20011205			
				20030910	EP 2001-985365				
	R: AT	, BE, C	H, DE,	DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,			
,	IE	, SI, L	T, LV,	FI, RO, MK,	CY, AL, TR				
	BR 2001015		A			20011205			
	JP 2004514	735	Т2	20040520	JP 2002-547478	20011205			
	IIS 2004052	832	A1	20040318	US 2003-433305	20030924			
PRIO	RITY APPLN.				EP 2000-126829	A 20001207			
11(10)					WO 2001-EP14254				
7 17	The sweets	+ inmon	tion re	lated to th	e field of pharmaceu	tical technol, and			

The present invention relates to the field of pharmaceutical technol. and AB describes a novel pharmaceutical preparation in the form of a suspension comprising an acid-labile active ingredient, in particular an acid-labile proton pump inhibitor. The invention also relates to processes for producing the suspension. The suspension is particularly suitable for administering acid-labile active ingredients to people who have difficulty taking solid dosage forms such as tablets or capsules. For example, 50 g of solid paraffin, 34.9 g of cetyl alc. and 0.1 g of stearylamine were converted into a clear melt and 5.0 g of povidone was dissolved in the clear melt. At a temperature between 56-60°, 10.0 g of

pantoprazole sodium sesquihydrate was added and suspended homogeneously. The suspension was prilled in the molten state, and the drops thus produced were solidified in a cooling zone. Then, 0.1 g of cyclamate sodium and 0.15 g of sodium benzoate were dissolved in 100 mL of water, 4.0 g of the solidified preparation was then stirred into the solution, 0.2 g of xanthan was added, and the mixture was stirred until uniform swelling was achieved. Flavors may be added if desired.

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

The present invention relates to the field of pharmaceutical technol. and ΔR describes a novel pharmaceutical preparation in the form of a suspension comprising an acid-labile active ingredient, in particular an acid-labile proton pump inhibitor. The invention also relates to processes for producing the suspension. The suspension is particularly suitable for administering acid-labile active ingredients to people who have difficulty taking solid dosage forms such as tablets or capsules. For example, 50 g of solid paraffin, 34.9 g of cetyl alc. and 0.1 g of stearylamine were converted into a clear melt and 5.0 g of povidone was dissolved in the clear melt. At a temperature between 56-60°, 10.0 g of

pantoprazole sodium sesquihydrate was added and suspended homogeneously. The suspension was prilled in the molten state, and the drops thus produced were solidified in a cooling zone. Then, 0.1 g of cyclamate sodium and 0.15 g of sodium benzoate were dissolved in 100 mL of water, 4.0 g of the solidified preparation was then stirred into the solution, 0.2 g of xanthan was added, and the mixture was stirred until uniform swelling was achieved. Flavors may be added if desired.

57-88-5, Cholesterol, biological studies 83-46-5,  $\beta$ -Sitosterol ΙT 110-44-1, Sorbic acid 124-30-1, Stearylamine 139-05-9, Cyclamate 532-32-1, Sodium benzoate 540-10-3, Cetyl palmitate 555-43-1, 555-44-2, Dynasan 116 555-45-3, Dynasan 114 822-16-2, Tristearin 9004-34-6D, Cellulose, 9003-39-8, Polyvinylpyrrolidone Sodium stearate 9004-57-3, Ethyl cellulose 9004-65-3, Hydroxypropyl methyl 9005-32-7D, Alginic acid, salts 9005-32-7, Alginic acid 11138-66-2, Xanthan gum 25086-89-9, Vinylpyrrolidone-vinyl acetate 95382-33-5, Omeprazole magnesium copolymer 36653-82-4, Cetyl alcohol 102625-70-7, **Pantoprazole** 103577-45-3, Lansoprazole 164579-32-2 199387-73-0 117976-89-3, Rabeprazole RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of oral suspensions for acid-labile proton pump inhibitors)

ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:449478 CAPLUS

DOCUMENT NUMBER:

137:24329

TITLE:

Pharmaceutical preparation in the form of a paste

comprising an acid-labile active ingredient

Dietrich, Rango; Linder, Rudolf

INVENTOR(S): PATENT ASSIGNEE(S):

BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SOURCE:

PCT Int. Appl., 20 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

CODEN: PIXXD2

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

	•			
	PATENT NO. KIND D			
	WO 2002045686 · A2 2		WO 2001-EP14253	
	W: AE, AL, AU, BA, BG, ID, IL, IN, IS, JP, SI, SK, UA, US, VN,	BR, CA, CN, KR, LT, LV,	MK, MX, NO, NZ, PI	H, PL, RO, SG,
	RW: AT, BE, CH, CY, DE, PT, SE, TR	DK, ES, FI,	FR, GB, GR, IE, I	r, LU, MC, NL,
	CA 2430816 AA 2	20020613	CA 2001-2430816 AU 2002-31654	20011205
	AU 2002031654 A5 2	20020618 <i>I</i>	AU 2002-31654	20011205
			EP 2001-991781	
	R: AT, BE, CH, DE, DK, IE, SI, LT, LV, FI,	ES, FR, GB,	GR, IT, LI, LU, NI	L, SE, MC, PT,
	TE, SI, DI, DV, FI,	KU, MK, CI,	AL, IK	20011205
	BR 2001015985 A 2 JP 2004514733 T2 2 US 2004101558 A1 2	20031223	TP 2001-13903	20011205
	US 2004101558 A1 2	20040527 U	JS 2003-433304	20030603
PRIC	RITY APPLN. INFO.:		EP 2000-126828	A 20001207
		V	EP 2000-126828 NO 2001-EP14253	W 20011205
	The present invention related describes a pharmaceutical pacid-labile active ingredient inhibitor. The invention all paste. The paste is particulative ingredients to animal solid dosage forms such as to containing solid paraffin, or pantoprazole sodium sesquihy	preparation and int, in particular particular particular particular particular peoptablets or capetyl alc., setyl alc., setyl alc., se	in the form of a particular an acid-labil to processes for proble for administer: ple who have diffications	aste comprising an le proton pump roducing the ing acid-labile culty taking ition was prepared
AB	The present invention related describes a pharmaceutical pacid-labile active ingredient inhibitor. The invention all paste. The paste is particulative ingredients to animal solid dosage forms such as the containing solid paraffin, or	es to the fie preparation of the in partic lso relates to ularly suitables or to peop tablets or ca cetyl alc., s	in the form of a particular an acid-labil to processes for proble for administer: tole who have diffications. A composi-	aste comprising an le proton pump roducing the ing acid-labile culty taking ition was prepared
ST IT	pantoprazole sodium sesquihy pharmaceutical paste acid la 102625-70-7, Pantoprazole RL: THU (Therapeutic use); E (pharmaceutical preparati active ingredient)	abile drug; <b>r</b> BIOL (Biologi	ical study); USES	(Uses) prising an acid-labil
L2	ANSWER 11 OF 16 CAPLUS COP	PYRIGHT 2004	ACS on STN	

L2 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:880939 CAPLUS

DOCUMENT NUMBER:

134:46785

TITLE:

Novel preparation and administration form comprising

an acid-labile active compound

INVENTOR(S):

Dietrich, Rango; Linder, Rudolf

PATENT ASSIGNEE(S): SOURCE:

Byk Gulden, Germany PCT Int. Appl., 25 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074654	A1	20001214	WO 2000-EP4958	20000531
W: AE. AL. AU	BA BB	BG BR CA	CN. CR CH. CZ DM.	EE GD GE

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HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG,
            MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN,
            YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           CA 2000-2376202
                                                                  20000531
                               20001214
                         AA
    CA 2376202
                                           BR 2000-11347
                                                                  20000531
                               20020319
    BR 2000011347
                         Α
                                           EP 2000-935151
                                                                  20000531
    EP 1187601
                         Α1
                               20020320
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                                                  20000531
                                           TR 2001-200103527
                               20020422
    TR 200103527
                         T2
                                                                  20000531
                                           JP 2001-501191
                               20030114
    JP 2003501377
                         T2
                                                                   20000531
                                           EE 2001-660
                         Α
                               20030415
    EE 200100660
                                           AU 2000-50741
                                                                   20000531
                         B2
                                20040819
    AU 775995
                                                                   20011203
                         A
                               20020930
                                           BG 2001-106165
    BG 106165
                                                                   20011205
                         Α
                               20021003
                                           ZA 2001-10000
     ZA 2001010000
                                                                   20011206
                               20020123
                                           NO 2001-5980
                         Α
     NO 2001005980
                                                                   20020104
                                           HR 2002-6
                               20030430
     HR 2002000006
                         A1
                                                               A 19990607
                                           EP 1999-110865
PRIORITY APPLN. INFO.:
                                           WO 2000-EP4958
                                                               W 20000531
     Novel administration forms and prepns. for acid-labile active compds. are
AB
     described. The novel administration forms contain individual active
     compound units, the active compound being present in the active compound units
     in a matrix made of a mixture comprising at least one fatty alc. and at
     least one solid paraffin, in a matrix made of a mixture of a triglyceride
     and at least one solid paraffin or in a matrix made of a mixture comprising
     at least one fatty acid ester and at least one solid paraffin. In
     particular, the active compound units are microspheres which can be produced
     by prilling. Solid paraffin 50, cetyl alc. 34.9, and stearylamine 0.1 g
     were fused to give a clear mixture Povidone 5 g were dissolved in the clear
     melt and 10 g pantoprazole sodium
     sesquihydrate were added and homogeneously suspended at
     56-60°. The suspension was prilled in the molten state and the
     drops thus formed were solidified in a cooling zone.
                               THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
                         10
REFERENCE COUNT:
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
     Novel administration forms and prepns. for acid-labile active compds. are
AB
     described. The novel administration forms contain individual active
     compound units, the active compound being present in the active compound units
     in a matrix made of a mixture comprising at least one fatty alc. and at
     least one solid paraffin, in a matrix made of a mixture of a triglyceride
     and at least one solid paraffin or in a matrix made of a mixture comprising
     at least one fatty acid ester and at least one solid paraffin. In
     particular, the active compound units are microspheres which can be produced
     by prilling. Solid paraffin 50, cetyl alc. 34.9, and stearylamine 0.1 g
     were fused to give a clear mixture Povidone 5 g were dissolved in the clear
     melt and 10 g pantoprazole sodium
     sesquihydrate were added and homogeneously suspended at
     56-60°. The suspension was prilled in the molten state and the
     drops thus formed were solidified in a cooling zone.
     acid labile drug matrix oral microsphere; pantoprazole paraffin
ST
     wax cetanol oral microsphere
                          57-88-5, Cholesterol, biological studies 77-86-1,
     57-87-4, Ergosterol
TΤ
            79-63-0, Lanosterol 83-46-5 83-48-7, Stigmasterol 109-89-7,
     Diethylamine, biological studies 121-44-8, Triethylamine, biological
               124-30-1, Stearylamine 474-62-4, Campesterol
                                                                474-67-9,
     Brassicasterol 497-19-8, Sodium carbonate, biological studies
     506-87-6, Ammonium carbonate 540-10-3, Cetyl palmitate
     Glyceryl tripalmitate 555-45-3, Glyceryl trimyristate
     Meglumine 9003-20-7, Polyvinyl acetate 9003-39-8, Povidone
```

25086-15-1, Methacrylic acid-methyl methacrylate copolymer 25086-89-9,

Vinyl acetate-vinylpyrrolidone copolymer 28572-98-7, Ethyl 36653-82-4, Cetyl alcohol methacrylate-methacrylic acid copolymer 95382-33-5, Omeprazole magnesium 102625-70-7, Pantoprazole 164579-32-2 199387-73-0 103577-45-3, Lansoprazole RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (microspheres containing acid-labile active compds. in solid matrixes)

ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN L2

ACCESSION NUMBER:

2000:154411 CAPLUS

DOCUMENT NUMBER:

132:227551

TITLE:

Spectrophotometric methods for the determination of

lansoprazole and pantoprazole sodium

sesquihydrate

AUTHOR (S):

Moustafa, Azza A. M.

CORPORATE SOURCE:

Department of Analytical Chemistry, Faculty of

Pharmacy, Cairo University, Cairo, Egypt

SOURCE:

Journal of Pharmaceutical and Biomedical Analysis

(2000), 22(1), 45-48

CODEN: JPBADA; ISSN: 0731-7085

Elsevier Science B.V. PUBLISHER:

DOCUMENT TYPE:

Journal

English LANGUAGE: Spectrophotometric procedures for determination of two irreversible proton pump inhibitors, lansoprazole (I) and pantoprazole sodium sesquihydrate (II) are presented. Two methods were based on charge transfer complexation reaction of these drugs, where they act as n-donors, with either  $\pi$  acceptor 2,3-dichloro-5,6-dicyano-1,4benzoquinone (DDQ) and with  $\sigma$  acceptor as iodine. A third method was also investigated depending on ternary complex formation with eosin and copper (II). The colored products were quantified spectrophotometrically using absorption bands at 457 nm for DDQ (method A) at 293 and 359 nm for iodine (method B) and at 549 nm using ternary complex formation (method C), for both drugs. The molar combining ratio and the optimum assay conditions were studied. These methods determined the lansoprazole in concentration ranges from 10 to 90, 1.48 to 6.65 and 3.69 to 16.61 μg ml-1 with mean percentage recovery 99.63% for DDQ, 99.71%, 99.18% for iodine and 99.76% for ternary complex and with relative standard deviation 0.11, 0.24, 0.13 and 0.36%, resp. For pantoprazole, the concentration ranges were 10-60, 17.7-141.6 and 4.3-25.9  $\mu g$  ml-1 with mean percentage recovery 99.51, 98.97, 99.84 and 99.46% and relative standard deviation 0.53, 1.21, 0.65, 0.81% for the three mentioned methods, resp. Investigation of the formed complexes was made with respect to its composition, molar ratio of the reaction, association constant KCAD, molar absorptivity  $\epsilon\lambda$ AD and free energy change  $\Delta G$  for methods (A) and

The proposed methods have been applied successfully to the anal. of the cited drugs either in pure form or in pharmaceutical formulations, with good accuracy and precision, compared statistically with those given by the reported methods. They are recommended for quality control and routine anal.

Spectrophotometric methods for the determination of lansoprazole and ΤI

pantoprazole sodium sesquihydrate Spectrophotometric procedures for determination of two irreversible proton pump AB inhibitors, lansoprazole (I) and pantoprazole sodium sesquihydrate (II) are presented. Two methods were based on charge transfer complexation reaction of these drugs, where they act as n-donors, with either  $\pi$  acceptor 2,3-dichloro-5,6-dicyano-1,4benzoquinone (DDQ) and with  $\sigma$  acceptor as iodine. A third method was also investigated depending on ternary complex formation with eosin and copper (II). The colored products were quantified spectrophotometrically using absorption bands at 457 nm for DDQ (method A) at 293 and 359 nm for iodine (method B) and at 549 nm using ternary complex formation (method C), for both drugs. The molar combining ratio

and the optimum assay conditions were studied. These methods determined the lansoprazole in concentration ranges from 10 to 90, 1.48 to 6.65 and 3.69 to 16.61 μg ml-1 with mean percentage recovery 99.63% for DDQ, 99.71%, 99.18% for iodine and 99.76% for ternary complex and with relative standard deviation 0.11, 0.24, 0.13 and 0.36%, resp. For pantoprazole, the concentration ranges were 10-60, 17.7-141.6 and  $4.\overline{3}$ -25.9  $\mu g$  ml-1 with mean percentage recovery 99.51, 98.97, 99.84 and 99.46% and relative standard deviation 0.53, 1.21, 0.65, 0.81% for the three mentioned methods, resp. Investigation of the formed complexes was made with respect to its composition, molar ratio of the reaction, association constant KCAD, molar absorptivity ελAD and free energy change ΔG for methods (A) and (B). The proposed methods have been applied successfully to the anal. of the cited drugs either in pure form or in pharmaceutical formulations, with good accuracy and precision, compared statistically with those given by the reported methods. They are recommended for quality control and routine anal. lansoprazole pantoprazole detn spectrophotometry complexation

ST

Spectrophotometry

(spectrophotometric methods for determination of lansoprazole and pantoprazole in pure and dosage forms)

103577-45-3, Lansoprazole 102625-70-7, Pantoprazole IT

RL: ANT (Analyte); ANST (Analytical study)

(spectrophotometric methods for determination of lansoprazole and pantoprazole in pure and dosage forms)

84-58-2, 2,3-Dichloro-5,6-dicyano-1,4-benzoquinone 7553-56-2, Iodine, IT

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (spectrophotometric methods for determination of lansoprazole and pantoprazole in pure and dosage forms)

ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:809044 CAPLUS

DOCUMENT NUMBER:

132:284325

TITLE:

Spectrophotometric methods for the determination of

lansoprazole and pantoprazole sodium

sesquihydrate

AUTHOR (S):

Moustafa, Azza A. M.

CORPORATE SOURCE:

Department of Analytical Chemistry, Faculty of

Pharmacy, Cairo University, Cairo, Egypt

SOURCE:

Bulletin of the Faculty of Pharmacy (Cairo University)

(1999), 37(2), 9-18

CODEN: BFPHA8; ISSN: 1110-0931

Cairo University, Faculty of Pharmacy

PUBLISHER: DOCUMENT TYPE:

Journal English

LANGUAGE: Spectrophotometric procedures for the determination of two irreversible proton pump inhibitors, lansoprazole (I) and pantoprazole sodium sesquihydrate (II) are presented. Two methods are based on charge transfer complexation reaction of these drugs, where they act as n-donor, with either  $\pi$  acceptor 2,3-dichloro-5,6-dicyano-1.4-benzoquinone (DDQ) and with  $\sigma$  acceptor as iodine. A third method was also investigated depends on ternary complex formation with eosin and copper (II). The colored products are quantified spectrophotometrically using absorption bands at 457 nm for DDQ (method A), at 293 nm and 359 nm for iodine (method B) and at 549 nm using ternary complex formation (method C), for both drugs. The molar combining ratio and the optimum assay conditions were studied. The methods determined the lansoprazole in concentration ranges from 10 - 90, 1.48 - 6.65 and 3.69 - 16.61  $\mu g.ml-1$  with mean percentage recovery 99.63% for DDQ, 99.71%, 99.18% for iodine and 99.76% for ternary complex and with relative standard deviation 0.11, 0.24, 0.13 and 0.36% resp. For pantoprazole, the concentration ranges were from 10 - 60, 17.7 - 141.6 and 4.3 - 25.9  $\mu$ g.ml-1 with mean

percentage recovery 99.51, 98.97, 99.84 and 99.46% and relative standard deviation 0.53, 1.21, 0.65, 0.81% for the three mentioned methods resp. Investigation of the formed complexes was made with respect to its composition, molar ratio of the reaction, association constant KCAD, molar absorptivity  $\epsilon\lambda$ AD and free energy change  $\Delta$ G for methods (A) and (B). The proposed methods have been applied successfully to the anal. of the cited drugs either in pure form or in pharmaceutical formulations, with good accuracy and precision, compared statistically with those given by the reported methods. They are recommended for quality control and routine anal.

REFERENCE COUNT:

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Spectrophotometric methods for the determination of lansoprazole and

pantoprazole sodium sesquihydrate Spectrophotometric procedures for the determination of two irreversible proton AΒ pump inhibitors, lansoprazole (I) and pantoprazole sodium sesquihydrate (II) are presented. Two methods are based on charge transfer complexation reaction of these drugs, where they act as n-donor, with either  $\pi$  acceptor 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (DDQ) and with  $\boldsymbol{\sigma}$  acceptor as iodine. A third method was also investigated depends on ternary complex formation with eosin and copper (II). The colored products are quantified spectrophotometrically using absorption bands at 457 nm for DDQ (method A), at 293 nm and 359 nm for iodine (method B) and at 549 nm using ternary complex formation (method C), for both drugs. The molar combining ratio and the optimum assay conditions were studied. The methods determined the lansoprazole in concentration ranges from 10 - 90, 1.48 - 6.65 and 3.69 - 16.61 μg.ml-1 with mean percentage recovery 99.63% for DDQ, 99.71%, 99.18% for iodine and 99.76% for ternary complex and with relative standard deviation 0.11, 0.24, 0.13 and 0.36% resp. For pantoprazole, the concentration ranges were from 10 - 60, 17.7 - 141.6 and  $\bar{4}.3$  - 25.9  $\mu g.ml-1$  with mean percentage recovery 99.51, 98.97, 99.84 and 99.46% and relative standard deviation 0.53, 1.21, 0.65, 0.81% for the three mentioned methods resp. Investigation of the formed complexes was made with respect to its composition, molar ratio of the reaction, association constant KCAD, molar absorptivity EXAD and free energy change  $\Delta G$  for methods (A) and The proposed methods have been applied successfully to the anal. of the cited drugs either in pure form or in pharmaceutical formulations, with good accuracy and precision, compared statistically with those given by the reported methods. They are recommended for quality control and

ST lansoprazole pantoprazole detn spectrophotometry complexation

IT Spectrophotometry

routine anal.

(spectrophotometric methods for determination of lansoprazole and pantoprazole sodium sesquihydrate)

102625-70-7, Pantoprazole 103577-45-3, Lansoprazole

RL: ANT (Analyte); ANST (Analytical study)

(spectrophotometric methods for determination of lansoprazole and

pantoprazole sodium sesquihydrate)

IT 84-58-2, 2,3-Dichloro-5,6-dicyano-1,4-benzoquinone 7440-50-8, Copper, uses 7553-56-2, Iodine, uses 17372-87-1, Eosin

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (spectrophotometric methods for determination of lansoprazole and pantoprazole sodium sesquihydrate)

L2 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:390376 CAPLUS

DOCUMENT NUMBER:

131:23551

TITLE:

IT

Novel administration form comprising an acid-labile

active compound

INVENTOR(S):

Linder, Rudolf; Dietrich, Rango

PATENT ASSIGNEE(S):

Byk Gulden Lomberg Chemische Fabrik GmbH, Germany

SOURCE:

PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
 WO 9929320	A1 19990617	WO 1998-EP8036	19981208		
W: AL AU BA.	BG. BR. CA. CN,	CZ, EE, GE, HR, HU, ID,	IL, IN, JP,		
KR. LT. LV.	MK. MX. NO. NZ.	PL, RO, SG, SI, SK, TR,	UA, US, VN,		
	AZ, BY, KG, KZ,				
RW: AT. BE. CH.	CY, DE, DK, ES,	FI, FR, GB, GR, IE, IT,	LU, MC, NL,		
PT, SE		• •			
DE 19754324	A1 19990610	DE 1997-19754324	19971208		
DE 19822549					
CA 2310585		CA 1998-2310585	19981208		
AU 9921600	A1 19990628	AU 1999-21600	19981208		
AU 751066	B2 20020808				
EP 1037634	A1 20000927	EP 1998-965801			
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,		
IE, SI, LT,	LV, FI, RO				
EE 200000329	A 20010815	EE 2000-200000329	19981208		
JP 2001525366	T2 20011211	JP 2000-523991	19981208		
US 6328993	B1 20011211	US 2000-530944			
US 2004022854	A1 20040205	US 2003-423002			
PRIORITY APPLN. INFO.:		DE 1997-19754324	A 19971208		
		DE 1998-19822549	A 19980520		
•		WO 1998-EP8036	W 19981208		
		•	A3 20000622		
		US 2001-983990	A3 20011026		

Novel administration form for acid-labile active compds. are described. The novel administration forms have no enteric layers and are suitable for oral administration. The acid-labile active compound is an acid-labile proton pump inhibitor. Cholesterol 7 g and Ethocel 5 g were dissolved in 100 mL dichloromethane and 5 g pantoprazole sodium sesquihydrate was suspended in the solution. The suspension was spray-dried to give a white free-flowing powder. Tablets were prepared from the granules containing mannitol, Kollidon-30, xanthan gum, and the above powder.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Novel administration form for acid-labile active compds. are described. The novel administration forms have no enteric layers and are suitable for oral administration. The acid-labile active compound is an acid-labile proton pump inhibitor. Cholesterol 7 g and Ethocel 5 g were dissolved in 100 mL dichloromethane and 5 g pantoprazole sodium sesquihydrate was suspended in the solution. The suspension was spray-dried to give a white free-flowing powder. Tablets were prepared from the granules containing mannitol, Kollidon-30, xanthan gum, and the above powder.

ST oral antiulcer sterol polymer fatty alc; pantoprazole

cholesterol cellulose tablet

57-87-4, Ergosterol 57-88-5, Cholesterol, biological studies 79-63-0, Lanosterol 83-46-5 83-48-7, Stigmasterol 112-72-1, Myristyl alcohol 112-92-5, Stearyl alcohol 474-62-4, Campesterol 474-67-9, Brassicasterol 9003-20-7, Polyvinyl acetate 9003-39-8, Polyvidone 9004-57-3, Ethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-67-5, Methyl cellulose 25086-89-9, Vinylacetate-vinylpyrrolidone copolymer 36653-82-4, Cetyl alcohol 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole

117976-89-3, Rabeprazole 164579-32-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oral formulations containing acid-labile drug particles surrounded with sterols and polymers and/or fatty alcs.)

ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:388074 CAPLUS

DOCUMENT NUMBER:

131:23549

TITLE:

Novel suppository form comprising an acid-labile

active compound

CODEN: PIXXD2

INVENTOR(S):

Linder, Rudolf; Dietrich, Rango

PATENT ASSIGNEE(S):

Byk Gulden Lomberg Chemische Fabrik GmbH, Germany

SOURCE:

PCT Int. Appl., 18 pp.

Patent

DOCUMENT TYPE:

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE				APPLICATION NO.					DATE			
 ₩0	9929	299			 ∆1	•	1999	0617		 WO	1998-	EP79	 46			19981	208
WO	W.	AT.	AU.	BA.	BG.	BR.	CA,	CN,	CZ,	EE	, GE,	HR,	HU,	ID,	IL	, IN,	JΡ,
		KR.	LT.	LV.	MK.	MX.	иО,	NZ,	PL,	RO	, SG,	SI,	SK,	TR,	UA	, US,	VN,
											, TJ,						
	RW:	AT.	BE.	CH,	CY,	DE,	DK,	ES,	FI,	FR	, GB,	GR,	ΙE,	IT,	LU	, MC,	ΝL,
		PT,		,	•	•											
DE	1975	4324			A1		1999	0610			1997-					19971	
DE	1982	2549			A1		1999				1998-					19980	
CA	2312	493			AA		1999	0617			1998-					19981	
AU	9924	130			A1		1999	0628		AU	1999-	2413	0			19981	208
ΑU	7482	09			B2		2002										
EP	1037	607			A1		2000	0927		ΕP	1998-	9666	09			19981	.208
EP	1037				В1												
	R:	AT,	ΒE,	CH,	DE,	DK,	, ES,	FR,	GB,	GR	t, IT,	LI,	LU,	ΝL,	SE	, MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	, RO						-				
EE	2000	0033	1		Α		2001	0815			2000-					19981	
JΡ	2001 2600	5253	55		T2		2001	1211			2000-					19981	
AT	2600	90			E		2004	0315			1998-					19981	
	6383	_			В1			0507			2000-					20000	
US	2002	0903						0711		US	2002-	-9628	8			20020	)313
US	6607	742			B2		2003	0819							_		
RIT	Y APE	PLN.	INFO	.:							1997						
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A new administration form for acid-labile active compds. is described. AΒ The administration form is a suppository, in particular for rectal administration. Cholesterol 7 g and Ethocel 5 g were dissolved in 100 mL dichloromethane and 5 g pantoprazole sodium

sesquihydrate was suspended in the solution The suspension was spray-dried to give a white free-flowing powder. The powder was introduced to 194.7 g suppository base (Adeps solidus) and the obtained suspension was cast into suppositories of 2.1 g each.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

A new administration form for acid-labile active compds. is described. AB The administration form is a suppository, in particular for rectal administration. Cholesterol 7 g and Ethocel 5 g were dissolved in 100 mL dichloromethane and 5 g pantoprazole sodium sesquihydrate was suspended in the solution The suspension was spray-dried to give a white free-flowing powder. The powder was

2

introduced to 194.7 g suppository base (Adeps solidus) and the obtained suspension was cast into suppositories of 2.1 g each.

ST suppository acid labile proton pump inhibitor; pantoprazole

cholesterol Ethocel suppository

TT 57-87-4, Ergosterol 57-88-5, Cholesterol, biological studies 79-63-0, Lanosterol 83-46-5 83-48-7, Stigmasterol 112-72-1, Myristyl alcohol 112-92-5, Stearyl alcohol 474-62-4, Campesterol 474-67-9, Brassicasterol 9003-20-7, Polyvinyl acetate 9003-39-8, Polyvidone 9004-57-3, Ethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-67-5, Methyl cellulose 25086-89-9, Vinylacetate-vinylpyrrolidone copolymer 36653-82-4, Cetyl alcohol 73590-58-6, Omeprazole 102625-70-7, Pantoprazole 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole 164579-32-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (suppositories containing acid-labile drug particles surrounded with sterols and polymers and/or fatty alcs.)

L2 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1995:659479 CAPLUS

DOCUMENT NUMBER:

123:40932

TITLE:

Preparation of a lyophilized, water-reconstitutable

formulation of Pantoprazole sodium

sesquihydrate

PATENT ASSIGNEE(S):

Byk Gulden Lomberg Chemische Fabrik GmbH, Germany

SOURCE:

Ger. Offen., 3 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4324014	A1	19950119	DE 1993-4324014	19930717
DE 4324014	C2	19950608	,	
PRIORITY APPLN. INFO.:			DE 1993-4324014	19930717

AB A water-reconstitutable preparation of Pantoprazole sodium sesquihydrate (I) can be formulated by lyophilizing it in the presence of an aqueous solution of sucrose at -25 to -30° C: The lyophilizate exhibits easy reconstitutability and good shelf-life properties. Thus, to produce 700 single doses a solution is prepared containing

31.57 g of I plus 25.31 g sucrose in 1208.72 g water for injection. The 700 vials are then filled each with 1.8 mL of this solution and lyophilized. Each vial then contains 45.1 mg I, which can be reconstituted by adding 10 mL physiol. saline solution

TI Preparation of a lyophilized, water-reconstitutable formulation of

Pantoprazole sodium sesquihydrate

AB A water-reconstitutable preparation of Pantoprazole sodium sesquihydrate (I) can be formulated by lyophilizing it in the presence of an aqueous solution of sucrose at -25 to -30° C. The lyophilizate exhibits easy reconstitutability and good shelf-life properties. Thus, to produce 700 single doses a solution is prepared containing

31.57 g of I plus 25.31 g sucrose in 1208.72 g water for injection. The 700 vials are then filled each with 1.8 mL of this solution and lyophilized. Each vial then contains 45.1 mg I, which can be reconstituted by adding 10 mL physiol. saline solution

ST Pantoprazole lyophilization formulation

IT Freeze drying

(preparation of a lyophilized, water-reconstitutable formulation of Pantoprazole sodium sesquihydrate)